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NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,

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FILE 'HOME' ENTERED AT 11:29:30 ON 04 FEB 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:29:39 ON 04 FEB 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 FEB 2008 HIGHEST RN 1001389-12-3
DICTIONARY FILE UPDATES: 3 FEB 2008 HIGHEST RN 1001389-12-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

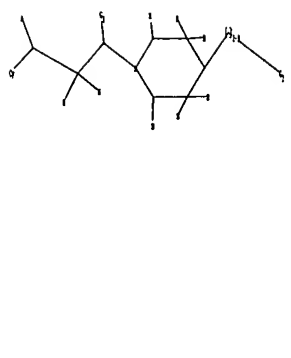
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

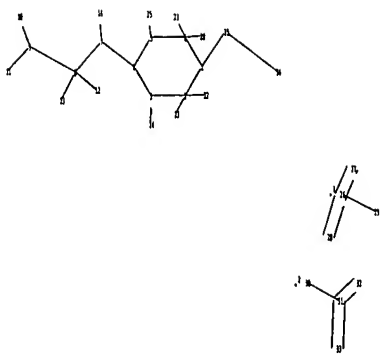
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10566799.str





chain nodes :
7 8 9 10 11 12 13 14 15 20 21 22 23 24 25 26 27 28 29 30 31 32
33 34
ring nodes :
1 2 3 4 5 6
chain bonds :
1-15 2-22 2-23 3-24 4-7 5-25 6-20 6-21 7-8 7-14 8-9 8-12 8-13 9-10
9-11 15-34 26-27 26-28 26-29 30-31 31-32 31-33
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 7-14 9-10 9-11 15-34 26-27 26-28 26-29
30-31 31-32 31-33
exact bonds :
1-15 2-22 2-23 3-24 5-25 6-20 6-21 7-8 8-9 8-12 8-13
isolated ring systems :
containing 1 :

G1:H,Ak

G2:[*1],[*2]

Match level :

```
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
 11:Atom  12:CLASS  13:CLASS  14:CLASS  15:CLASS  20:CLASS  21:CLASS  22:CLASS
23:CLASS  24:CLASS  25:CLASS  26:CLASS  27:CLASS  28:CLASS  29:CLASS  30:CLASS
31:CLASS  32:CLASS  33:CLASS  34:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 11:30:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      883 TO ITERATE

100.0% PROCESSED      883 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       15878 TO    19442
PROJECTED ANSWERS:              0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 11:30:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    17061 TO ITERATE

100.0% PROCESSED    17061 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

L3            0 SEA SSS FUL L1

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   178.36     178.57

STN INTERNATIONAL LOGOFF AT 11:30:10 ON 04 FEB 2008
```

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PASSWORD:
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FILE 'HOME' ENTERED AT 11:31:50 ON 04 FEB 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:31:59 ON 04 FEB 2008
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DICTIONARY FILE UPDATES: 3 FEB 2008 HIGHEST RN 1001389-12-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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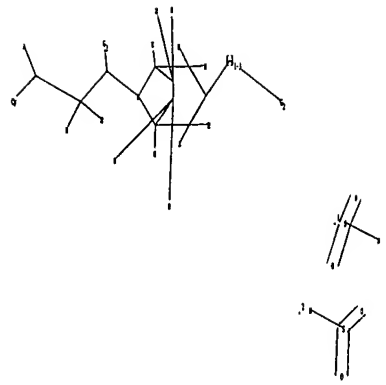
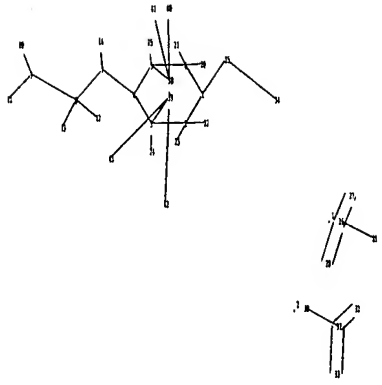
http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10566799a.str





chain nodes :
7 8 9 10 11 12 13 14 15 20 21 22 23 24 25 26 27 28 29 30 31 32
33 34 40 41 42 43
ring nodes :
1 2 3 4 5 6 38 39
chain bonds :
1-15 2-22 2-23 3-24 4-7 5-25 6-20 6-21 7-8 7-14 8-9 8-12 8-13 9-10
9-11 15-34 26-27 26-28 26-29 30-31 31-32 31-33 38-40 38-41 39-42 39-43
ring bonds :
1-2 1-6 2-3 3-4 3-39 4-5 5-6 5-38 38-39
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 7-14 9-10 9-11 15-34 26-27 26-28 26-29
30-31 31-32 31-33
exact bonds :
1-15 2-22 2-23 3-24 3-39 5-25 5-38 6-20 6-21 7-8 8-9 8-12 8-13 38-39
38-40 38-41 39-42 39-43
isolated ring systems :
containing 1 :

G1:H,Ak

G2:[*1],[*2]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 20:CLASS 21:CLASS 22:CLASS
23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS
31:CLASS 32:CLASS 33:CLASS 34:CLASS 38:Atom 39:Atom 40:CLASS 41:CLASS
42:CLASS 43:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 11:32:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 21 TO ITERATE

100.0% PROCESSED 21 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 146 TO 694
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 11:32:25 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 451 TO ITERATE

100.0% PROCESSED 451 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> log y
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 178.36 178.57

STN INTERNATIONAL LOGOFF AT 11:32:28 ON 04 FEB 2008

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FILE 'HOME' ENTERED AT 11:34:40 ON 04 FEB 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:34:51 ON 04 FEB 2008
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DICTIONARY FILE UPDATES: 3 FEB 2008 HIGHEST RN 1001389-12-3

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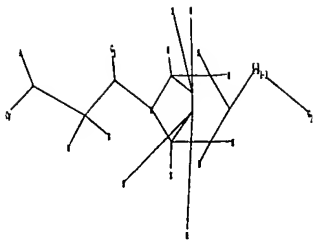
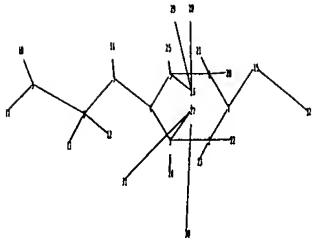
=>
Uploading C:\Program Files\Stnexp\Queries\10566799c.str





chain nodes :
7 8 9 10 11 12 13 14 15 20 21 22 23 24 25 28 29 30 31 32
ring nodes :
1 2 3 4 5 6 26 27
chain bonds :
1-15 2-22 2-23 3-24 4-7 5-25 6-20 6-21 7-8 7-14 8-9 8-12 8-13 9-10
9-11 15-32 26-28 26-29 27-30 27-31
ring bonds :
1-2 1-6 2-3 3-4 3-27 4-5 5-6 5-26 26-27
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 7-14 9-10 9-11 15-32
exact bonds :
1-15 2-22 2-23 3-24 3-27 5-25 5-26 6-20 6-21 7-8 8-9 8-12 8-13 26-27
26-28 26-29 27-30 27-31
isolated ring systems :
containing 1 :

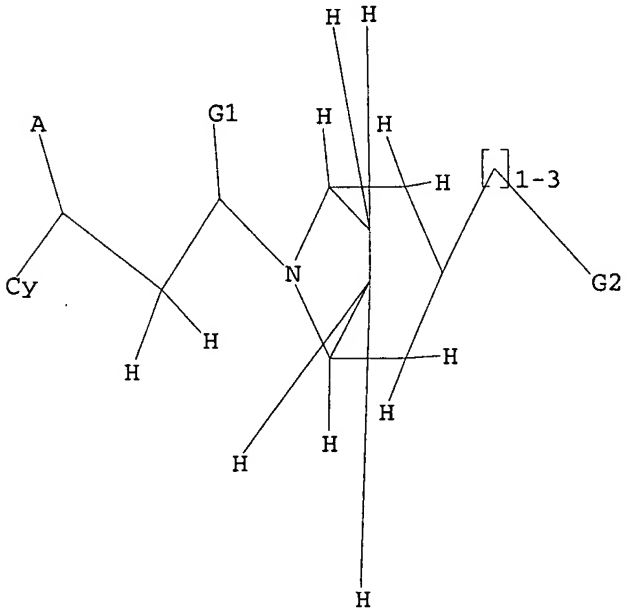
G1:H,Ak

G2:S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 20:CLASS 21:CLASS 22:CLASS
23:CLASS 24:CLASS 25:CLASS 26:Atom 27:Atom 28:CLASS 29:CLASS 30:CLASS
31:CLASS 32:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak
G2 S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 11:35:09 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 173 TO ITERATE

100.0% PROCESSED 173 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2671 TO 4249
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 11:35:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3521 TO ITERATE

100.0% PROCESSED 3521 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.36	178.57

STN INTERNATIONAL LOGOFF AT 11:35:18 ON 04 FEB 2008

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NEWS 27	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS 28	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 29	JAN 02	STN pricing information for 2008 now available
NEWS 30	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 31	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 32	JAN 28	MARPAT searching enhanced
NEWS 33	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 34	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 35	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,

CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:41:19 ON 04 FEB 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:41:29 ON 04 FEB 2008
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 FEB 2008 HIGHEST RN 1001389-12-3
DICTIONARY FILE UPDATES: 3 FEB 2008 HIGHEST RN 1001389-12-3

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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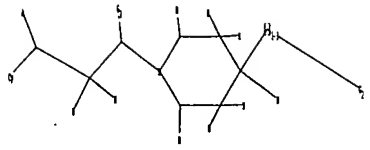

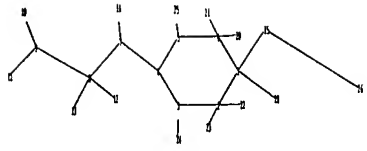

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ring nodes :
1 2 3 4 5 6
chain bonds :
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9-10 9-11 15-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 7-14 9-10 9-11 15-26
exact bonds :
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isolated ring systems :
containing 1 :

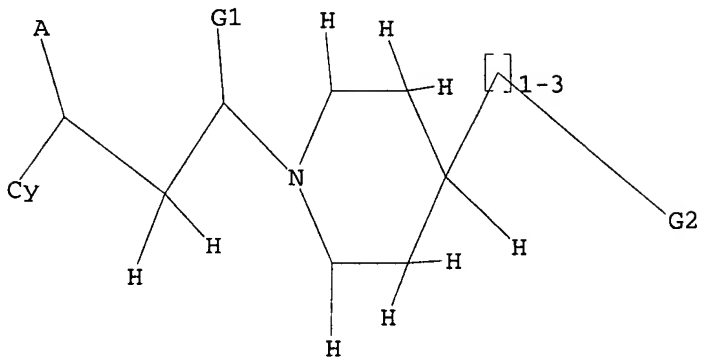
G1:H,Ak

G2:S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 20:CLASS 21:CLASS 22:CLASS
23:CLASS 24:CLASS 25:CLASS 26:CLASS 28:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak
G2 S,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 14395 TO ITERATE

13.9% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 280713 TO 295087
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 11:41:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 284933 TO ITERATE

100.0% PROCESSED 284933 ITERATIONS 37 ANSWERS
SEARCH TIME: 00.00.04

L3 37 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 11:42:04 ON 04 FEB 2008

FILE COVERS 1907 - 4 Feb 2008 VOL 148 ISS 6
FILE LAST UPDATED: 3 Feb 2008 (20080203/ED)

=> s l3 full
L4 14 L3

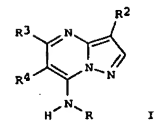
=> d ibib abs hitstr tot

L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1395785 CAPLUS
DOCUMENT NUMBER: 148:55084
TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 497pp., Cont.-in-part of U.S. Ser. No. 710,644.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2007281951	A1	20071206	US 2007-788856		20070420
CN 1880317	A	20061220	CN 2006-10101322		20030903
US 7161003	B2	20070109	US 2003-654546		20030903
US 2007037824	A1	20070215			
US 2004209878	A1	20041021	US 2004-776988		20040211
US 7119200	B2	20061010			
US 2006128725	A1	20060615	US 2005-245401		20051006
US 7196078	B2	20070327			
ZA 2005001855	A	20060329	ZA 2005-1855		20060117
US 2007225270	A1	20070927	US 2007-710644		20070223
PRIORITY APPLN. INFO.:			US 2002-408027P	P	20020904
			US 2002-421959P	P	20021029
			US 2003-654546	A2	20030903
			US 2004-776988	A2	20040211
			US 2005-245401	A3	20051006
			US 2007-710644	A2	20070223
			CN 2003-824997	A3	20030903

OTHER SOURCE(S): MARPAT 148:55084
GI

L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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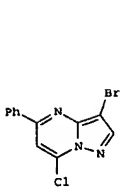

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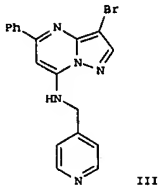
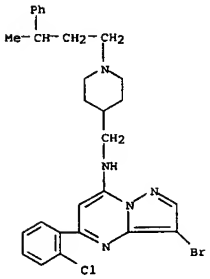


III

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I, alone or in combination with other therapeutic agent, is claimed.
IT 677286-93-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors for treating cancer)
RN 677286-93-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[[1-(3-phenylbutyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:359039 CAPLUS
DOCUMENT NUMBER: 146:379835
TITLE: Preparation of cyanopyridones as survivin inhibitors
INVENTOR(S): Wendt, Michael D.; Sun, Chaohong; Sauer, Daryl R.; Elmore, Steven W.; Kunzer, Aaron R.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 35pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2007072833	A1	20070329	US 2006-529845		20060929
PRIORITY APPLN. INFO.:			US 2005-721634P	P	20050929

OTHER SOURCE(S): MARPAT 146:379835
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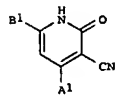
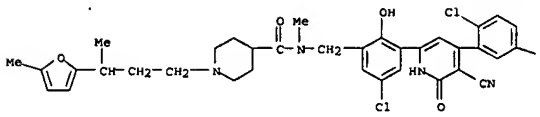


I

AB Title compds. [I; A1, B1 = R1, OR1, SOR1, SO2R1, COR1, CO2R1, NHCOR1, SO2NHR1, NHSO2NHR1, etc.; R1 = (fused) Ph, heteroaryl, cycloalkyl, cycloalkenyl, heterocycloalkyl, (substituted) alkyl, alkenyl, alkynyl], were prepared Thus, 5-bromo-2-hydroxyacetophenone, 4-methylbenzaldehyde, Et cyanoacetate, and ammonium acetate were refluxed together in EtOH for 6 h to give 6-(5-bromo-2-hydroxyphenyl)-4-(4-methylphenyl)-2-oxo-1,2-dihydro-3-pyridinecarbonitrile. I bound to survivin with binding affinities of 0.037-29 μM.
IT 931113-30-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyanopyridones as survivin inhibitors)
RN 931113-30-3 CAPLUS
CN 4-Piperidinecarboxamide, N-[[5-chloro-3-[4-[2-chloro-5-(trifluoromethyl)phenyl]-5-cyano-1,6-dihydro-6-oxo-2-pyridinyl]-2-hydroxyphenyl]methyl]-N-methyl-1-[3-(5-methyl-2-furanyl)butyl]- (CA INDEX NAME)

L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

L4 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:579598 CAPLUS
DOCUMENT NUMBER: 145:62916
TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 1068 pp., Cont.-in-part of U.S. Ser. No. 776,988.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006128725	A1	20060615	US 2005-245401	20051006
US 7196078	B2	20070327		
CN 1880317	A	20061220	CN 2006-10101322	20030903
US 7161003	B2	20070109	US 2003-654546	20030903
US 2007037824	A1	20070215		
US 2004209878	A1	20041021	US 2004-776988	20040211
US 7119200	B2	20061010		
ZA 2005001855	A	20060329	ZA 2005-1855	20060117
US 2007072881	A1	20070329	US 2006-542920	20061004
WO 2007044449	A2	20070419	WO 2006-US38939	20061004
WO 2007044449	A3	20070524		
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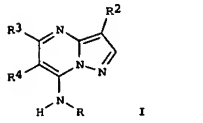
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 2007225270	A1	20070927	US 2007-710644	20070223
US 2007281951	A1	20071206	US 2007-788856	20070420

PRIORITY APPLN. INFO.:		
US 2002-408027P	P	20020904
US 2002-421959P	P	20021029
US 2003-654546	A2	20030903
US 2004-776988	A2	20040211
CN 2003-824997	A3	20030903
US 2005-245401	A2	20051006
US 2007-710644	A2	20070223

OTHER SOURCE(S): MARPAT 145:62916
GI

L4 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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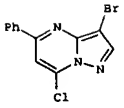

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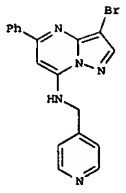


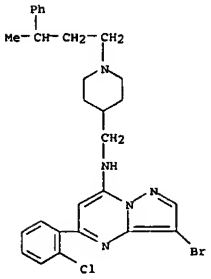
III

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed.

IT 677286-93-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors for treating cancer)

RN 677286-93-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[[1-(3-phenylbutyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

L4 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:981365 CAPLUS
DOCUMENT NUMBER: 141:379943
TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE: U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S. Ser. No. 654,546.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004209878	A1	20041021	US 2004-776988		20040211
US 2004209878	A1	20041021	US 2003-654546		20030903
PRIORITY APPLN. INFO.:			US 2002-408027P	P	20020904
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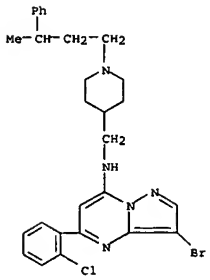
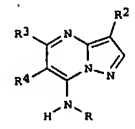
			US 2003-654546	A2	20030903
			US 2004-776988	A	20040211

GI



L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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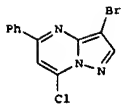

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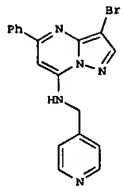


III

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part III of I-III series.

IT 677286-93-0P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors for treating cancer)

RN 677286-93-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[[1-(3-phenylbutyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

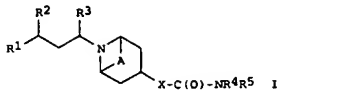
L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:546499 CAPLUS
DOCUMENT NUMBER: 141:106377
TITLE: A preparation of novel piperidine derivatives as modulators of chemokine receptor CCR5
INVENTOR(S): Oldfield, John; Tucker, Howard
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004056808	A1	20040708	WO 2003-SE2005		20031218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2003288853	A1	20040714	AU 2003-288853		20031218
EP 1572683	A1	20050914	EP 2003-781232		20031218
EP 1572683	B1	20061018			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006512364	T	20060413	JP 2004-562206		20031218
AT 342898	T	20061115	AT 2003-781232		20031218
ES 2274295	T3	20070516	ES 2003-781232		20031218
US 2006069120	A1	20060330	US 2005-539522		20050617
PRIORITY APPLN. INFO.:			SE 2002-3820	A	20021220
			WO 2003-SE2005	W	20031218

OTHER SOURCE(S): MARPAT 141:106377
GI

L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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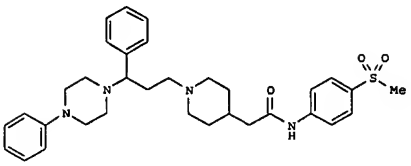


II

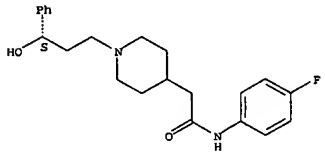
AB The invention relates to a preparation of novel piperidine derivs. of formula I
[wherein: A is absent or (CH2)2; R1 is alkyl, C(O)NH-alkyl, or CO2-alkyl, etc.; R2 is alkyl, Ph, heteroaryl, or cycloalkyl; R3 is H or alkyl; R4 is (hetero)aryl; R5 is H or alkyl; X is (CH2)1-2, CH:CH, OCH2, or S(O)0-2CH2], useful as modulators of chemokine receptor CCR5. The invention compds. are claimed to be useful for the treatment of CCR5-mediated diseases such as autoimmune, inflammatory, or proliferative diseases. The ability of the invention compds. to inhibit the binding of RANTES and MIP-1α was assessed (certain compds. of formula I have IC50 < 50 μM). For instance, Pic50 (neg. log of the IC50 result) for piperidine derivative II was determined as 7.92 (MIP-1α binding inhibition).

IT 718637-51-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of novel piperidine derivs. as modulators of
chemokine receptor CCR5)

RN 718637-51-5 CAPLUS

CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[(3S)-3-hydroxy-3-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



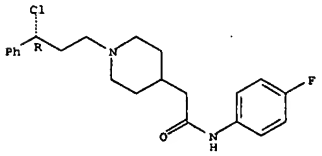
L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 718637-43-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of novel piperidine derivs. as modulators of chemokine receptor CCR5)

RN 718637-43-5 CAPLUS

CN 4-Piperidineacetamide, 1-[(3R)-3-chloro-3-phenylpropyl]-N-(4-fluorophenyl)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:546479 CAPLUS
DOCUMENT NUMBER: 141:106374
TITLE: A preparation of novel piperidine derivatives as modulators of chemokine receptor CCR5
INVENTOR(S): Cumming, John; Faull, Alan; Fielding, Colin; Oldfield, John; Tucker, Howard
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056773	A1	20040708	WO 2003-SE2008	20031218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003288856	A1	20040714	AU 2003-288856	20031218
EP 1572650	A1	20050914	EP 2003-781235	20031218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017459	A	20051116	BR 2003-17459	20031218
CN 1732153	A	20060208	CN 2003-80107833	20031218
JP 2006514107	T	20060427	JP 2005-502630	20031218
IN 2005DN02442	A	20070406	IN 2005-DN2442	20050607
MX 2005PA06381	A	20050829	MX 2005-PA6381	20050614
US 2006189650	A1	20060824	US 2005-539859	20050617
NO 2005003539	A	20050920	NO 2005-3539	20050719
ZA 2005004616	A	20060329	ZA 2005-4616	20060116

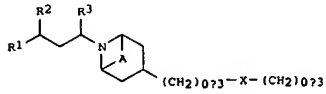
PRIORITY APPLN. INFO.:

SE 2002-3821	A	20021220
SE 2003-499	A	20030224
SE 2003-1425	A	20030515
WO 2003-SE2008	W	20031218

OTHER SOURCE(S): MARPAT 141:106374

GI

L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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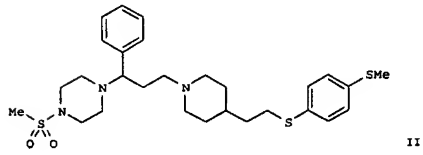


II

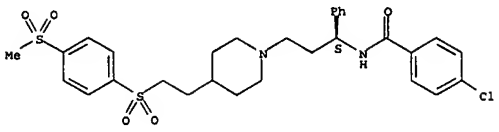
AB The invention relates to a preparation of novel piperidine derivs. of formula I
[wherein: A is absent or (CH2)2; R1 is alkyl, C(O)NH-alkyl, or CO2-alkyl, etc.; R2 is alkyl, Ph, heteroaryl, or cycloalkyl; R3 is H or alkyl; R4 is (hetero)aryl or (cyclo)alkyl; X is O or S(O)0-2], useful as modulators of chemokine receptor CCR5. The invention compds. are claimed to be useful for the treatment of CCR5-mediated diseases such as autoimmune, inflammatory, or proliferative diseases. The invented compds. are also of value in inhibiting the entry of viruses (such as HIV) into target cells (no biol. data). The ability of the invention compds. to inhibit the binding of RANTES and MIP-1α was assessed (certain compds. of formula I have IC50 < 50 μM). For instance, Pic50 (neg. log of the IC50 result) for piperidine derivative II was determined as 6.91 (table XV).

IT 718610-18-5P 718611-68-8P 718611-69-9P
718611-70-2P 718611-71-3P 718611-72-4P
718611-73-5P 718612-04-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel piperidine derivs. as modulators of chemokine receptor
ccr5)

RN 718610-18-5 CAPLUS

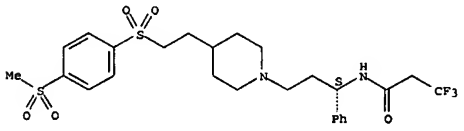
CN Benzamide, 4-chloro-N-[(1S)-3-[4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



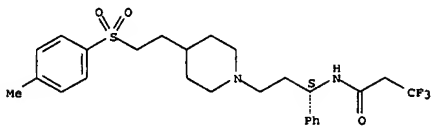
RN 718611-68-8 CAPLUS
CN Propanamide, 3,3,3-trifluoro-N-[(1S)-3-[4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



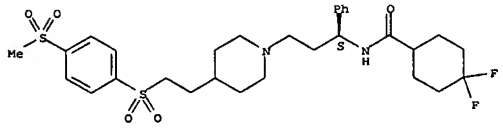
RN 718611-69-9 CAPLUS
CN Propanamide, 3,3,3-trifluoro-N-[(1S)-3-[4-[2-[(4-methylphenyl)sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



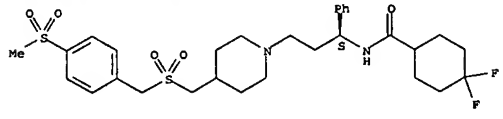
RN 718611-70-2 CAPLUS
CN Propanamide, 3,3,3-trifluoro-N-[(1S)-3-[4-[2-[(4-fluorophenyl)sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



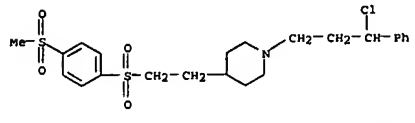
RN 718612-04-5 CAPLUS
CN Cyclohexanecarboxamide, 4,4-difluoro-N-[(1S)-3-[4-[[[4-(methylsulfonyl)phenyl]methyl]sulfonyl]methyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



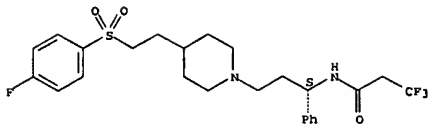
IT 718610-15-2P 718610-19-6P 718610-23-2P
718610-66-3P 718610-69-6P 718611-16-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel piperidine derivs. as modulators of chemokine receptor
ccr5)

RN 718610-15-2 CAPLUS
CN Piperidine, 1-(3-chloro-3-phenylpropyl)-4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]- (CA INDEX NAME)



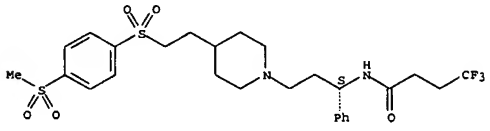
RN 718610-19-6 CAPLUS
CN 1-Piperidinepropanamine, 4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-α-phenyl-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



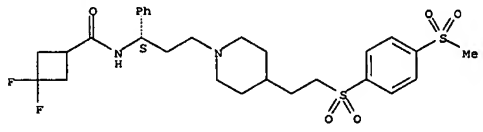
RN 718611-71-3 CAPLUS
CN Butanamide, 4,4,4-trifluoro-N-[(1S)-3-[4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



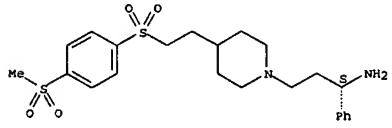
RN 718611-72-4 CAPLUS
CN Cyclobutanecarboxamide, 3,3-difluoro-N-[(1S)-3-[4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.

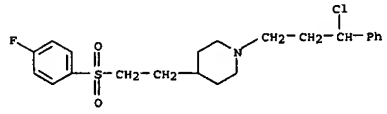


RN 718611-73-5 CAPLUS
CN Cyclohexanecarboxamide, 4,4-difluoro-N-[(1S)-3-[4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

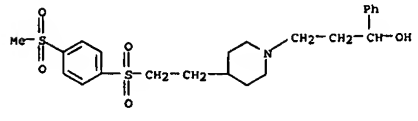
Absolute stereochemistry.



RN 718610-23-2 CAPLUS
CN Piperidine, 1-(3-chloro-3-phenylpropyl)-4-[2-[(4-fluorophenyl)sulfonyl]ethyl]- (CA INDEX NAME)

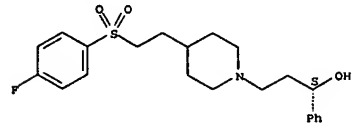


RN 718610-66-3 CAPLUS
CN 1-Piperidinepropanol, 4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-α-phenyl- (CA INDEX NAME)



RN 718610-69-6 CAPLUS
CN 1-Piperidinepropanol, 4-[2-[(4-fluorophenyl)sulfonyl]ethyl]-α-phenyl-, (αS)- (CA INDEX NAME)

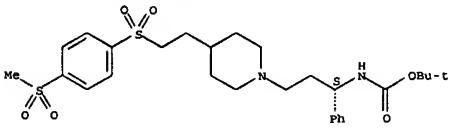
Absolute stereochemistry.



RN 718611-16-6 CAPLUS

L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN Carbamic acid,
[(1S)-3-[4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

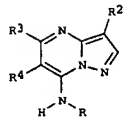
FORMAT

L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:265849 CAPLUS
DOCUMENT NUMBER: 140:321371
TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 609 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022561	A1	20040318	WO 2003-XB27555	20030903
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1735614	A	20060215	CN 2003-824997	20030903
CN 1880317	A	20061220	CN 2006-10101322	20030903
ZA 2005001855	A	20060329	ZA 2005-1855	20060117
PRIORITY APPLN. INFO.:			US 2002-408027P	P 20020904
			US 2002-421959P	P 20021029
			CN 2003-824997	A3 20030903

GI

L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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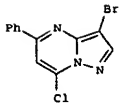
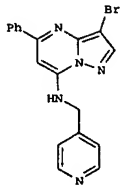


II



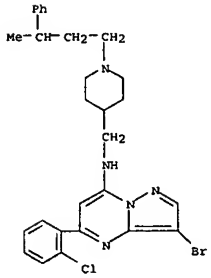
III

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part III of I-III series.

IT 677286-93-0P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors for treating cancer)

RN 677286-93-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-bromo-5-(2-chlorophenyl)-N-[[1-(3-phenylbutyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:754342 CAPLUS
DOCUMENT NUMBER: 137:263068
TITLE: Preparation of aryl and biaryl derivatives having Melanin-concentrating hormone modulatory activity
INVENTOR(S): Hobbs, Douglas W.; Guo, Tao; Hunter, Rachael C.; Gu, Huizhong
PATENT ASSIGNEE(S): Pharmacopeia, Inc., USA
SOURCE: PCT Int. Appl., 180 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

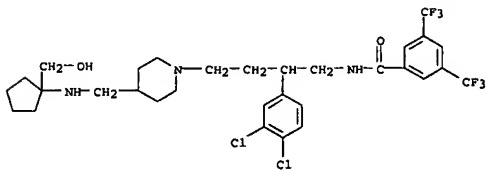
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002076929	A1	20021003	WO 2002-US8300		20020319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, VN, YU, ZA, ZM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2441235	A1	20021003	CA 2002-2441235		20020319
AU 2002247367	A1	20021008	AU 2002-247367		20020319
US 2003092715	A1	20030515	US 2002-101136		20020319
US 7034056	B2	20060425			
EP 1370520	A1	20031217	EP 2002-715150		20020319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
CN 1498205	A	20040519	CN 2002-806895		20020319
HU 2004000252	A2	20040830	HU 2004-252		20020319
JP 2004526736	T	20040902	JP 2002-576192		20020319
NZ 527680	A	20050729	NZ 2002-527680		20020319
ZA 2003006727	A	20041129	ZA 2003-6727		20030828
MX 2003PA08484	A	20031208	MX 2003-PA8484		20030919
PRIORITY APPLN. INFO.:			US 2001-277534P	P	20010321
			WO 2002-US8300	W	20020319

OTHER SOURCE(S): MARPAT 137:263068
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; A = (un)substituted aryl, pyridinyl, pyrazinyl, pyridazinyl; Z = biphenylcarbamoyl, biphenylcarbonyl, biphenoxylcarbonyl, biphenyl, biphenylsulfonyl; M = H, Me, Et, iso-Pr, n-Pr, cyclobutyl; n = 2-4; p = 1-6; R1 = NH2, NHR, NR2, NOR2, NH(CH2)nNR2; R = Me, Et, n-Pr, iso-Pr, cyclobutyl; R2 = H, alkyl] are prepared as antagonists of the Melanin-concentrating hormone (MCH) receptor. In one embodiment, this invention provides methods of preparing title compds., pharmaceutical comprns. containing one or more of title compds., methods of preparing pharmaceutical

L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
formulations comprising one or more title compds., and methods of treatment, prevention or amelioration or one or more of diseases assocd. with the MCH receptor. Thus, the title compd. II was an illustrative inventive compd.
IT 463940-44-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl and biaryl derivs. having Melanin-concentrating hormone modulatory activity)
RN 463940-44-5 CAPLUS
CN Benzamide, N-{2-(3,4-dichlorophenyl)-4-[4-[[[1-(hydroxymethyl)cyclopentyl]amino]methyl]-1-piperidinyl]butyl]-3,5-bis(trifluoromethyl)- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:177400 CAPLUS
DOCUMENT NUMBER: 135:5510
TITLE: Synthesis of substituted 4(Z)-(methoxyimino)pentyl-1-piperidines as dual NK1/NK2 inhibitors
AUTHOR(S): Ting, P. C.; Lee, J. F.; Anthes, J. C.; Shih, N.-Y.; Piwinski, J. J.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033-1300, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(4), 491-494
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:5510
GI

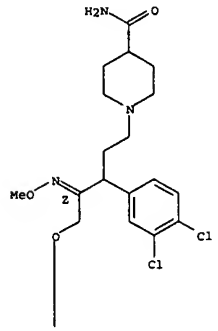
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of 5-[(3,5-bis(trifluoromethyl)phenyl)methoxy]-3-(3,4-dichlorophenyl)-4(Z)-(methoxyimino)pentyl-1-piperidines, e.g. I and II, were prepared the their NK1 and NK2 receptor activity was evaluated. Compds. I and II were among 5 of the most potent inhibitors. A series of 4(Z)-(methoxyimino)pentyl-1-piperidines was prepared, and their biol. activity as dual NK1/NK2 receptor antagonists determined
IT 340962-38-1P 340962-41-6P 340962-43-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of substituted 4(Z)-(methoxyimino)pentyl-1-piperidines as dual NK1/NK2 inhibitors)
RN 340962-38-1 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(4Z)-5-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-3-(3,4-dichlorophenyl)-4-(methoxyimino)pentyl]- (CA INDEX NAME)

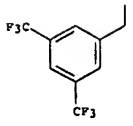
Double bond geometry as shown.

L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



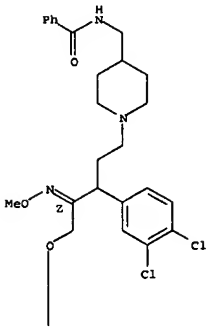
PAGE 2-A



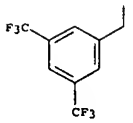
RN 340962-41-6 CAPLUS
CN Benzamide, N-[[1-[(4Z)-5-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-3-(3,4-dichlorophenyl)-4-(methoxyimino)pentyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

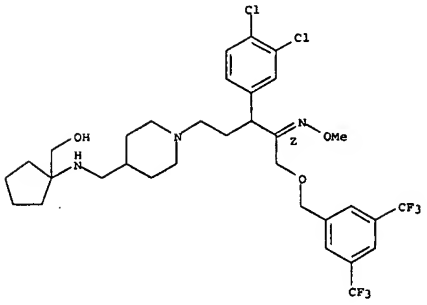


PAGE 2-A



RN 340962-43-8 CAPLUS
CN 2-Pentanone, 1-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-3-(3,4-dichlorophenyl)-5-[4-[[[1-(hydroxymethyl)cyclopentyl]amino]methyl]-1-piperidinyl]-, O-methyloxime, (2Z)- (CA INDEX NAME)

Double bond geometry as shown.

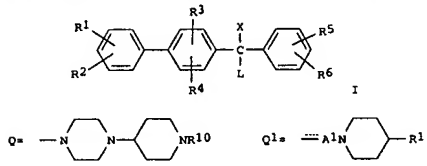


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

ACCESSION NUMBER: 1999:659363 CAPLUS
DOCUMENT NUMBER: 131:271485
TITLE: Preparation of biocidal benzylbiphenyl derivatives
INVENTOR(S): Meerpoel, Lieven; Van Der Flaas, Mark Arthur Josepha; Van Der Veken, Louis Jozef Elisabeth; Heeres, Jan
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951578	A1	19991014	WO 1999-EP2098	19990325
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 245763	B	20051221	TW 1999-88103906	19990315
CA 2326159	A1	19991014	CA 1999-2326159	19990325
AU 9933325	A	19991025	AU 1999-33325	19990325
AU 759157	B2	20030410		
BR 9909344	A	20001212	BR 1999-9344	19990325
EP 1066259	A1	20010110	EP 1999-914550	19990325
EP 1066259	B1	20070110		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
TR 200002846	T2	20010122	TR 2000-2846	19990325
JP 2002510677	T	20020409	JP 2000-542299	19990325
CN 1110478	B	20030604	CN 1999-804494	19990325
NZ 507024	A	20030725	NZ 1999-507024	19990325
RU 2218333	C2	20031210	RU 2000-127729	19990325
IL 138736	A	20050831	IL 1999-138736	19990325
PL 193580	B1	20070228	PL 1959-3432	19990325
ES 2280117	T3	20070901	ES 1999-914550	19990325
US 6440440	B1	20020827	US 2000-647015	20000922
ZA 2000005237	A	20010928	ZA 2000-5237	20000928
IN 2000MN00450	A	20050318	IN 2000-MN450	20000928
NO 2000004905	A	20000929	NO 2000-4905	20000929
NO 317784	B1	20041213		
MX 2000PA09617	A	20010405	MX 2000-PA9617	20000929
PRIORITY APPLN. INFO.:			EP 1998-201043 A	19980402
			WO 1999-EP2098 W	19990325

OTHER SOURCE(S): MARPAT 131:271485
GI



AB The title compds. I [dotted line is an optional bond; X is a direct bond when the dotted line represents a bond, or X is hydrogen or hydroxy, when the dotted line does not represent a bond; R1, R2, R5 and R6 are each independently selected from hydrogen, halo, hydroxy, C1-4alkyl, C1-4alkyloxy, -SO3H, etc.; R3 and R4 are each independently selected from hydrogen, halo, hydroxy, C1-4alkyl, C1-4alkyloxy, nitro, amino, cyano, trifluoromethyl, or trifluoromethoxy; L is a radical of formula Q, Q1, etc.], biocides, were prepared E.g., 4-[[(1,1'-biphenyl)-4-yl](4-fluorophenyl)methylene](1,1'-bipiperidine) dihydrochloride was prepared Biocidal activities of I were tested toward bacteria, e.g. E. coli, and yeast.
IT 245551-86-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biocidal benzylbiphenyl derivs.)
RN 245551-86-4 CAPLUS
CN 1-Piperidinepropanol, α-[1,1'-biphenyl]-4-yl-α-(2,4-dichlorophenyl)-4-[2-(dimethylamino)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

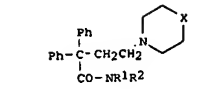
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

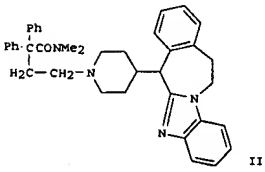
ACCESSION NUMBER: 1999:576930 CAPLUS
DOCUMENT NUMBER: 131:199712
TITLE: Preparation of heterocyclic compounds as glycine transport inhibitors
INVENTOR(S): Luyten, Walter Herman Maria Louis; Janssens, Frans Eduard; Kennis, Ludo Edmond Josephine
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945011	A1	19990910	WO 1999-EP1308	19990226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2322136	A1	19990910	CA 1999-2322136	19990226
AU 9932544	A	19990920	AU 1999-32544	19990226
BR 9907953	A	20001024	BR 1999-7953	19990226
EP 1058684	A1	20001213	EP 1999-937930	19990226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
TR 200002570	T2	20001221	TR 2000-2570	19990226
HU 2001001281	A2	20010928	HU 2001-1281	19990226
HU 2001001281	A3	20011128		
EE 200000483	A	20020215	EE 2000-483	19990226
JP 2002505332	T	20020219	JP 2000-534553	19990226
IN 2000MN00192	A	20050304	IN 2000-MN192	20000718
HR 2000000524	A1	20010228	HR 2000-524	20000802
BG 104686	A	20010430	BG 2000-104686	20000811
NO 2000004432	A	20001102	NO 2000-4432	20000905
MX 2000PA08692	A	20010328	MX 2000-PA8692	20000905
PRIORITY APPLN. INFO.:			EP 1998-200700 A	19980306
			WO 1999-EP1308 W	19990226

OTHER SOURCE(S): MARPAT 131:199712
GI

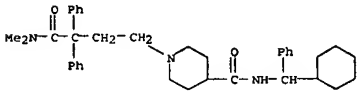


I



II

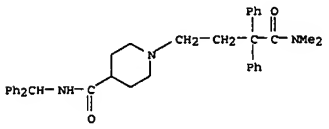
AB The present invention is concerned with the use of glycine transport inhibiting α,α-diphenyl-1-piperidinebutanamides for the preparation of medicaments, title compds. I (R1, R2, = H, alkyl; X = CR4R5; R4 = H, OH, etc.; R5 = diarylmethyloxyalkyl, etc) for treating disorders of the central and peripheral nervous system, in particular psychoses, pain, epilepsy, neurodegenerative diseases (Alzheimer's disease), stroke, head trauma, multiple sclerosis and the like. The title compound II was prepared
Formulations are given. The invention further comprises novel compds., their preparation and their pharmaceutical forms. The bioactivity of II was
demonstrated.
IT 241130-18-7P 241130-20-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as glycine transport inhibitors)
RN 241130-18-7 CAPLUS
CN 1-Piperidinebutanamide, 4-[[(cyclohexylphenylmethyl)amino]carbonyl]-N,N-dimethyl-α,α-diphenyl- (CA INDEX NAME)



RN 241130-20-1 CAPLUS
CN 1-Piperidinebutanamide, 4-[[(diphenylmethyl)amino]carbonyl]-N,N-dimethyl-

L4 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

α,α-diphenyl- (CA INDEX NAME)



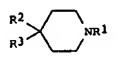
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:96124 CAPLUS
DOCUMENT NUMBER: 130:168242
TITLE: Preparation of 1-(4-sulfonamidobutyl)piperidines and related compounds as modulators of chemokine receptor activity.
INVENTOR(S): Caldwell, Charles G.; Finke, Paul E.; Maccoss, Malcolm; Meurer, Laura C.; Mills, Sander G.; Oates, Bryan
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 281 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9904794	A1	19990204	WO 1998-US14990	19980721
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2296314	A1	19990204	CA 1998-2296314	19980721
AU 9885760	A	19990216	AU 1998-85760	19980721
EP 1003514	A1	20000531	EP 1998-936920	19980721
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, MC, PT, IE, FI				
US 6136827	A	20001024	US 1998-120010	19980721
JP 2002510327	T	20020402	JP 1999-509949	19980721
PRIORITY APPLN. INFO.:			US 1997-53754P P	19970725
			GB 1998-958 A	19980116
			WO 1998-US14990 W	19980721

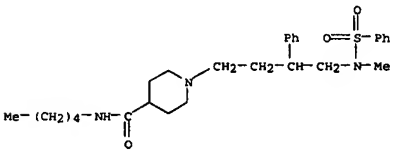
OTHER SOURCE(S): MARPAT 130:168242
GI



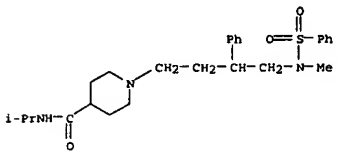
I

AB Title compds. [I; R1 = (substituted) alkyl; R2 = H, OH, alkyl, alkoxy, Ph,
NMeCONHMe, NHCO2Me, Ac; R3 = aryl, aralkyl, aralkoxyalkyl, (substituted) aralkoxycarbonylamino, etc.], were prepared for treatment of AIDS (no data).
Thus, N-(2-phenyl-4-oxobut-1-yl)-N-methylbenzenesulfonamide (preparation given)
was stirred 20 min. with 4-phenylpiperidine, HOAc, and 3Å mol. sieves in THF; Na triacetoxyborohydride was added and the mixture was kept 16 h to
give N-[2-phenyl-4-(4-phenylpiperidin-1-yl)but-1-yl]-N-

L4 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
methylbenzenesulfonamide hydrochloride.
IT 220392-77-8P 220392-78-9P 220393-25-9P
220393-49-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(4-sulfonamidobutyl)piperidines and related compds. as
modulators of chemokine receptor activity)
RN 220392-77-8 CAPLUS
CN 4-Piperidinecarboxamide, 1-[4-[methyl(phenylsulfonyl)amino]-3-phenylbutyl]-N-pentyl- (CA INDEX NAME)

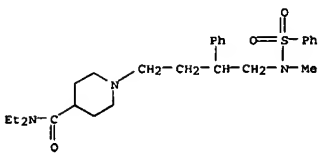


RN 220392-78-9 CAPLUS
CN 4-Piperidinecarboxamide, N-(1-methylethyl)-1-[4-[methyl(phenylsulfonyl)amino]-3-phenylbutyl]- (CA INDEX NAME)

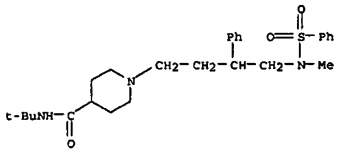


RN 220393-25-9 CAPLUS
CN 4-Piperidinecarboxamide, N,N-diethyl-1-[4-[methyl(phenylsulfonyl)amino]-3-phenylbutyl]- (CA INDEX NAME)

L4 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 220393-49-7 CAPLUS
CN 4-Piperidinecarboxamide, N-(1,1-dimethylethyl)-1-[4-[methyl(phenylsulfonyl)amino]-3-phenylbutyl]- (CA INDEX NAME)

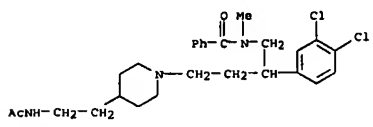


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1998:515956 CAPLUS
DOCUMENT NUMBER:	129:225292
TITLE:	4-Alkylpiperidines related to SR-48968: potent antagonists of the neurokinin-2 (NK2) receptor
AUTHOR(S):	Jacobs, Robert T.; Shenvi, Ashok B.; Mauger, Russell C.; Ulatowski, Terrance G.; Aharony, David; Buckner, Carl K.
CORPORATE SOURCE:	Department of Medicinal Chemistry, a Business Unit of ZENECA, Inc., ZENECA Pharmaceuticals, Wilmington, DE, 19850-5437, USA
SOURCE:	Bioorganic & Medicinal Chemistry Letters (1998), 8(14), 1935-1940 CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER:	Elsevier Science Ltd.
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB A series of 4-alkylpiperidine derivs. related to the potent neurokinin-2 (NK2) receptor antagonist SR-48968 (1) is described. Simple aliphatic derivs. were found to be poorly active, but appropriate placement of an alc. functional group afforded compds. that were of similar activity to 1.
Several representatives in this series, such as the 4-(1-hydroxy-1-ethylpropyl)piperidine (14), were found to exhibit oral activity in a model of labored abdominal breathing in guinea pigs. These results expand the latitude of substituents available in this region of this series of NK2 receptor antagonists.
IT 212910-73-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NK2 receptor antagonist activity of 4-Alkylpiperidines related to SR-48968)
RN 212910-73-1 CAPLUS
CN Benzamide, N-[4-[4-[2-(acetylamino)ethyl]-1-piperidinyl]-2-(3,4-dichlorophenyl)butyl]-N-methyl- (CA INDEX NAME)



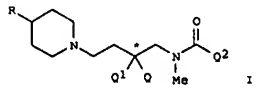
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

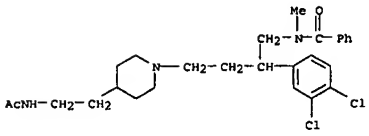
ACCESSION NUMBER:	1995:346893 CAPLUS
DOCUMENT NUMBER:	122:132987
TITLE:	Preparation of N-alkyl-substituted piperidines with neurokinin receptor antagonist activity.
INVENTOR(S):	Jacobs, Robert Toms; Shenvi, Ashokkumar Bhikkappa
PATENT ASSIGNEE(S):	Zeneca Ltd., UK
SOURCE:	Eur. Pat. Appl., 27 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 625509	A1	19941123	EP 1994-303449	19940513
EP 625509	B1	19970730		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2123636	A1	19941118	CA 1994-2123636	19940516
US 5521199	A	19960528	US 1994-242949	19940516
JP 06340624	A	19941213	JP 1994-137780	19940517
JP 3394819	B2	20030407		
PRIORITY APPLN. INFO.:			GB 1993-10066 A	19930517

OTHER SOURCE(S): MARPAT 122:132987
GI

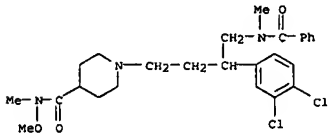


AB The title compds. [I; Q = (un)substituted Ph, (un)substituted thienyl, (un)substituted imidazolyl, (un)substituted naphthyl, etc.; Q1 = H, C1-3 alkyl; Q2 = (un)substituted aryl or heteroaryl; R = (un)substituted C1-8 alkyl or C3-6 cycloalkyl; * = an optional chiral center], useful as neurokinin 2 receptor antagonists, useful for the treatment of asthma (no data), are prepared and I-containing formulations presented. Thus, N-[2-(3,4-dichlorophenyl)-4-[4-(2-acetoxyethyl)piperidino]butyl]-N-methylbenzamide hydrochloride, m.p. 62-71°, was prepared from 4-(2-acetoxyethyl)piperidine and demonstrated Ki 40 nM to guinea pig-derived NKA receptors.
IT 160809-53-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-alkyl-substituted piperidines with neurokinin receptor
antagonist activity)
RN 160809-53-0 CAPLUS
CN Benzamide, N-[4-[4-[2-(acetylamino)ethyl]-1-piperidinyl]-2-(3,4-dichlorophenyl)butyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



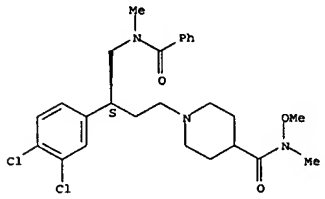
● HCl

IT 160809-36-9P 160809-44-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-alkyl-substituted piperidines with neurokinin receptor
antagonist activity)
RN 160809-36-9 CAPLUS
CN 4-Piperidinecarboxamide, 1-[4-(benzoylmethylamino)-3-(3,4-dichlorophenyl)butyl]-N-methoxy-N-methyl- (CA INDEX NAME)



RN 160809-44-9 CAPLUS
CN 4-Piperidinecarboxamide, 1-[4-(benzoylmethylamino)-3-(3,4-dichlorophenyl)butyl]-N-methoxy-N-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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L3           37 S L1 FULL

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L4           14 S L3 FULL

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FULL ESTIMATED COST                                    79.18     257.75

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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